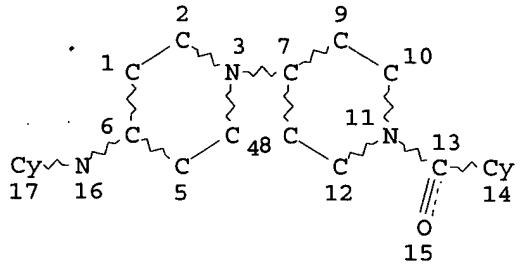


=> d 11
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L1 STR



NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC 8 3
NUMBER OF NODES IS 17

STEREO ATTRIBUTES: NONE

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100.0% PROCESSED 11358 ITERATIONS 396 ANSWERS
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L3 396 SEA SSS FUL L1

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COST IN U.S. DOLLARS  
FULL ESTIMATED COST          SINCE FILE ENTRY      TOTAL SESSION  
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE ENTRY      TOTAL SESSION  
CA SUBSCRIBER PRICE          0.00                  -5.11
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FILE LAST UPDATED: 17 Oct 2005 (20051017/ED)

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<http://www.cas.org/infopolicy.html>

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=> d bib abs 1-7  
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Structure Formats  
SIA ----- Structure Image, Attributes, and map table if it contains  
data. (Default)  
SIM ----- Structure IMage.  
SAT ----- Structure ATtributes and map table if it contains data.  
SCT ----- Structure Connection Table and map table if it contains  
data.  
SDA ----- All Structure DAta (image, attributes, connection table and  
map table if it contains data).  
NOS ----- NO Structure data.  
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=> d bib abs 19 1-7

L9 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2005:409505 CAPLUS
DN 142:463612
TI Preparation of bipiperidinyl derivatives as inhibitors of CCR5 receptors
IN Miller, Michael W.; Scott, Jack D.
PA Schering Corporation, USA
SO PCT Int. Appl., 84 pp.
CODEN: PIXXD2

DT Patent
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005042517	A2	20050512	WO 2004-US36273	20041101
	WO 2005042517	A3	20050728		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRAI US 2003-516954P P 20031103

OS MARPAT 142:463612

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [M = (un)substituted-aryl, -heteroaryl, -N(alkyl)pyridone with provisions; R1, R2 and Z independently = H, alkyl, haloalkyl; R3 = H, aryl, haloalkyl, etc.; R4 = (un)substituted-aryl, -fluorenyl, -diphenylmethyl, etc.; A = H, alkyl, alkenyl] and pharmaceutically acceptable salts, are prepared and disclosed as inhibitors of CCR5 receptors. Thus, e.g., II was prepared by coupling of III (preparation given) with N-Boc-sarcosine and subsequent treatment of the tert-Bu carbamate intermediate with 4N HCl. The activity of I was evaluated using chemotaxis and luciferase replication assays and it was revealed that selected compds. of the invention displayed IC₅₀ values in the range of <0.1 up to 0.19 nM. I as inhibitors of CCR5 receptors should prove useful in the treatment of human immunodeficiency virus. Pharmaceutical compns. comprising I are disclosed.

L9 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:981365 CAPLUS

DN 141:379943

TI Preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors
IN Guzi, Timothy J.; Paruch, Kamil; Dwyer, Michael P.; Doll, Ronald J.; Girijavallabhan, Viyyoor M.; Mallams, Alan; Alvarez, Carmen S.; Keertikar, Kartik M.; Rivera, Jocelyn; Chan, Tin-Yau; Madison, Vincent; Fischmann, Thierry O.; Dillard, Lawrence W.; Tran, Vinh D.; He, Zhen Min; James, Ray Anthony; Park, Haengsoon; Paradkar, Vidyadhar M.; Hobbs, Douglas Walsh
PA Schering Corporation, USA; Pharmacopeia, Inc.

SO U.S. Pat. Appl. Publ., 1044 pp., Cont.-in-part of U.S. Ser. No. 654,546.
CODEN: USXXCO

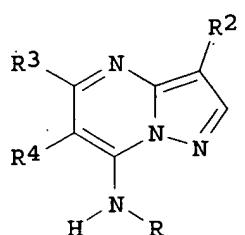
DT Patent
LA English

FAN.CNT 6

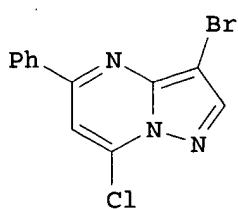
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PI	US 2004209878	A1	20041021	US 2004-776988	20040211
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PRAI	US 2002-408027P	P	20020904		
	US 2002-421959P	P	20021029		
	US 2003-654546	A2	20030903		
	US 2004-776988	A	20040211		

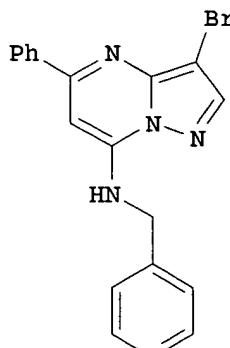
GI



I



II



III

AB The title compds. [I R = H, alkyl, cycloalkyl, etc.; R2 = alkyl, halo, aryl, etc.; R3 = H, halo, aryl, etc.; R4 = H, halo, alkyl], useful as inhibitors of cyclin dependent kinases for treatment, prevention, inhibition, or amelioration of one or more diseases associated with the CDKs such as cancer, were prepared. Thus, reacting II (preparation given) with 4-aminomethylpyridine afforded 93% III which showed IC₅₀ of 0.020 μM and 0.029 μM against CDK2 kinase (cyclin A or cyclin E-dependent). The pharmaceutical composition comprising the compound I is claimed. This is a

Part

III of I-III series.

L9 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:308430 CAPLUS

DN 140:321241

TI Preparation of heteroarylaminopiperidinylpiperidines as CCR5 chemokine receptor antagonists.

IN Albert, Rainer; Cooke, Nigel Graham; Thoma, Gebhard

PA Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.

SO PCT Int. Appl., 32 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

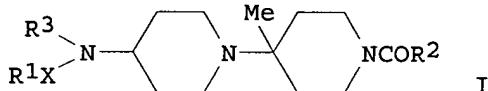
PATENT NO.

KIND DATE

APPLICATION NO.

DATE

PI	WO 2004031172	A1	20040415	WO 2003-EP11035	20031006
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	CA 2501243	AA	20040415	CA 2003-2501243	20031006
	EP 1551827	A1	20050713	EP 2003-798931	20031006
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	BR 2003015092	A	20050816	BR 2003-15092	20031006
PRAI	GB 2002-23223	A	20021007		
	WO 2003-EP11035	W	20031006		
OS	MARPAT 140:321241				
GI					



AB Title compds. [I; (1) R2 = 2,4-dimethylpyridin-3-yl-N-oxide, (a) R1 = thiienyl, furyl, thiazolyl, 2-methylthiazolyl, R3 = benzo[1,3]dioxolyl, (halo)phenyl; or (b) R1 = Ph substituted by SO2Me, cyano, X = CH2, R3 = Ph; or (c) R1 = Ph, X = bond, R3 = pyridyl; or (2) R2 = 2,6-dimethylphenyl, (a) R1 = pyridyl, Ph optionally substituted by CO2H, alkoxy carbonyl, 2-methylthiazolyl, indolyl, benzimidazol-2-yl; X1 = CH2, CH2CH2; R3 = (halo)phenyl; (b) R1 = Ph, X = bond, R3 = pyridyl, or R1 = 2-methylthiazolyl, X = CH2, R3 = 1-methylindolyl; (3) R2 = 2,4-dimethylpyridin-3-yl, (a) R1 = 2-methylthiazolyl, X = bond, R3 = Ph; etc.], were prepared I (R1 = 2-pyridyl; R2 = 2,4-dimethylpyridin-3-yl-N-oxide; R3 = Ph; X = null) inhibited CCR5 in a Ca2+ mobilization assay with IC50 = 29 nM.

RE.CNT 4 . THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 2004:265849 CAPLUS
 DN 140:321371
 TI Preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors
 IN Guzi, Timothy J.; Paruch, Kamil; Dwyer, Michael P.; Doll, Ronald J.; Girijavallabhan, Viyyoor Moopil; Mallams, Alan; Alvarez, Carmen S.; Keertikar, Kartik M.; Rivera, Jocelyn; Chan, Tin-yau; Madison, Vincent; Fischmann, Thierry O.; Dillard, Lawrence W.; Tran, Vinh D.; He, Zhen Min; James, Ray Anthony; Park, Haengsoon; Paradkar, Vidyadhar M.; Hobbs, Douglas Walsh
 PA Schering Corporation, USA
 SO PCT Int. Appl., 609 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 6

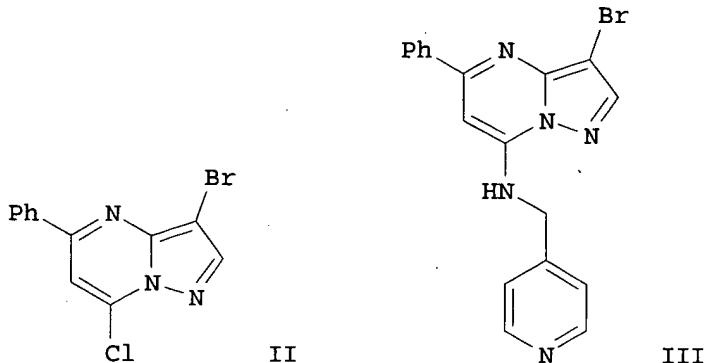
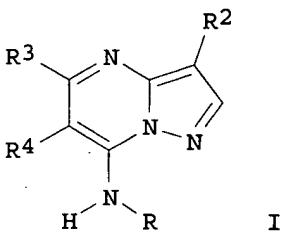
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004022561	A1	20040318	WO 2003-XB327555	20030903
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MG, MK, MN, MX, NI, NO, NZ, PG, PH, PL, PT, RO, RU, SC, SE, SG,
SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UZ, VC, VN, YU, ZA, ZM,
AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC,
NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ,
GW, ML, MR, NE, SN, TD, TG

PRAI US 2002-408027P P 20020904
US 2002-421959P P 20021029

GI



AB The title compds. [I R = H, alkyl, cycloalkyl, etc.; R2 = alkyl, halo, aryl, etc.; R3 = H, halo, aryl, etc.; R4 = H, halo, alkyl], useful as inhibitors of cyclin dependent kinases for treatment, prevention, inhibition, or amelioration of one or more diseases associated with the CDKs such as cancer, were prepared. Thus, reacting II (preparation given) with 4-aminomethylpyridine afforded 93% III which showed IC₅₀ of 0.020 μ M and 0.029 μ M against CDK2 kinase (cyclin A or cyclin E-dependent). The pharmaceutical composition comprising the compound I is claimed. This is a

Part III of I-III series.

L9 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:196486 CAPLUS

DN 140:368098

TI Orally Bioa

II orally Bioavailable Competitive CCR5 Antagonists
AU Thoma, Gebhard; Nuninger, Francois; Schaefer, Man

AS Thomas, Gernhard; Nuninger, Francois; Schaefer, Marc; Akyel, Rayhan G.; Albert, Rainer; Beerli, Christian; Bruns, Christian; Francotte, Eric; Luyten, Marcel; MacKenzie, Duncan; Oberer, Lukas; Streiff, Markus B.; Wagner, Trixie; Walter, Hansrudolf; Weckbecker, Gisbert; Zerwes, Hans-Guenter

CS Novartis Institutes for BioMedical Research, Basel, CH-4056, Switz.
 SO Journal of Medicinal Chemistry (2004), 47(8), 1939-1955
 CODEN: JMCMAR; ISSN: 0022-2623
 PB American Chemical Society
 DT Journal
 LA English
 AB The chemokine receptor CCR5 plays an important role in inflammatory and autoimmune disorders as well as in transplant rejection by affecting the trafficking of effector T cells and monocytes to diseased tissues. Antagonists of CCR5 are believed to be of potential therapeutic value for the disorders mentioned above and HIV infection. Here we report on the structure-activity relationship of a new series of highly potent and selective competitive CCR5 antagonists. While all compds. tested were inactive on rodent CCR5, this series includes compds. that cross-react with the cynomolgus monkey (cyno) receptor. One of these compds., i.e., 26n, has good PK properties in cynos, and its overall favorable profile makes it a promising candidate for in vivo profiling in transplantation and other disease models.

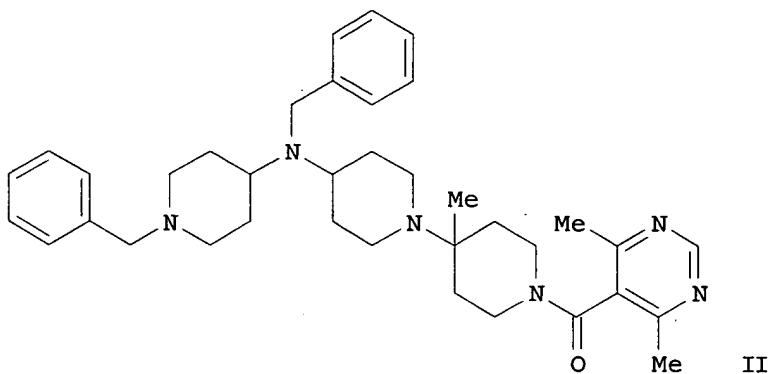
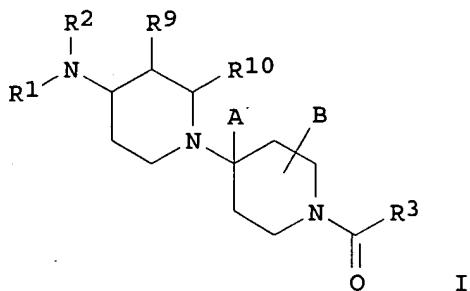
RE.CNT 54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 2003:202634 CAPLUS
 DN 138:238191
 TI Preparation of 1-[1-(pyrimidin-5-ylcarbonyl)piperidin-4-yl]piperidin-4-amines as CCR5 antagonists
 IN Palani, Anandan; Miller, Michael W.; Scott, Jack D.
 PA Schering Corporation, USA
 SO PCT Int. Appl., 105 pp.
 CODEN: PIXXD2
 DT Patent
 LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003020716	A1	20030313	WO 2002-US27389	20020828
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2457861	AA	20030313	CA 2002-2457861	20020828
	US 2004010008	A1	20040115	US 2002-229466	20020828
	EP 1421075	A1	20040526	EP 2002-766142	20020828
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	BR 2002012108	A	20040824	BR 2002-12108	20020828
	CN 1551877	A	20041201	CN 2002-816679	20020828
	JP 2005502682	T2	20050127	JP 2003-524986	20020828
	US 2004092745	A1	20040513	US 2003-628933	20030729
	US 2004092551	A1	20040513	US 2003-629466	20030729
	ZA 2004001594	A	20041124	ZA 2004-1594	20040225
	NO 2004001266	A	20040326	NO 2004-1266	20040326
PRAI	US 2001-315683P	P	20010829		
	US 2002-229466	A3	20020828		
	WO 2002-US27389	W	20020828		

OS MARPAT 138:238191
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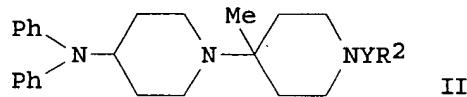
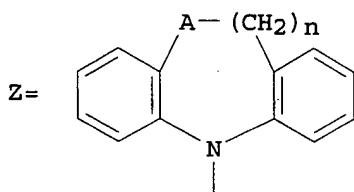
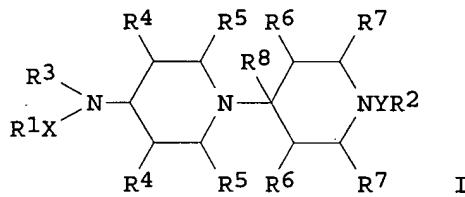
AB The title compds. [I; R1 = piperidinyl, Ph, etc.; R2 = CH₂Ph, 4-pyridylmethyl, etc.; R3 = 4,6-dimethylpyrimidine-5-yl, Ph, etc.; R9, R10, B = H, alkyl, haloalkyl; A = H, alkyl, alkenyl] and their pharmaceutically acceptable salts, useful, alone or in combination with another agent, in the treatment of Human Immunodeficiency Virus (HIV), solid organ transplant rejection, graft v. host disease, arthritis, rheumatoid arthritis, inflammatory bowel disease, atopic dermatitis, psoriasis, asthma, allergies or multiple sclerosis, were prepared E.g., a 6-step synthesis of II, starting from 4-hydroxypiperidine and N-Boc-4-piperidone, which showed IC₅₀ of 1.7 nM in luciferase HIV replication assay, was given.

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 2002:793604 CAPLUS
 DN 137:310816
 TI Preparation of bipiperidinyl-derivatives and their use as chemokine receptors inhibitors
 IN Albert, Rainer; Bruns, Christian; Nuninger, Francois; Streiff, Markus; Thoma, Gebhard; Zerwes, Hans-Guenter
 PA Novartis A.-G., Switz.; Novartis-Erfindungen Verwaltungsgesellschaft m.b.H.
 SO PCT Int. Appl., 39 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002081449	A1	20021017	WO 2002-EP3871	20020408
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 SI, SK, TJ, TM, TN, TR, TT, UA, US, UZ, VN, YU, ZA, ZW, AM, AZ,
 BY, KG, KZ, MD, RU, TJ, TM
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 CA 2439241 AA 20021017 CA 2002-2439241 20020408
 EP 1379504 A1 20040114 EP 2002-730122 20020408
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 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
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 BR 2002008741 A 20040622 BR 2002-8741 20020408
 JP 2004525174 T2 20040819 JP 2002-579437 20020408
 NZ 528712 A 20050729 NZ 2002-528712 20020408
 ZA 2003006432 A 20040604 ZA 2003-6432 20030819
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 NO 2003004324 A 20030926 NO 2003-4324 20030926
 PRAI GB 2001-8876 A 20010409
 WO 2002-EP3871 W 20020408
 OS MARPAT 137:310816
 GI



AB Piperidine derivs. I [X = bond, CH₂, CH₂CH₂, CHR₉, CO, O, NH, NR₉; R₁ = R₁₀- and/or R₁₁-substituted Ph, heteroaryl, heteroaryl N-oxide, naphthyl; R₂ = R₁, R₁₀- and/or R₁₁-substituted fluorenyl or R₁₀-substituted C₁-6-alkyl, C₂-6-alkenyl, C₃-6-cycloalkyl, adamantyl, C₄-8-cycloalkenyl; R₃ = R₂; R₁XNR₃ = optionally R₁₀-substituted Z; A = CH₂, NH, NR₉, S, SO, SO₂, O; n = 0 - 2; R₄, R₆ = R₅, CN, OH, OR₉, F, Cl, Br, I; R₅, R₇ = H, C₁-6-alkyl, C₁-6-hydroxyalkyl, C₂-6-alkoxyalkyl, C₁-6-haloalkyl, Ph, CH₂Ph, heteroaryl; R₈ = H, C₁-6-alkyl, C₂-6-alkenyl, C₂-6-alkynyl, Ph, CH₂Ph, CN, CH₂NH₂, CH₂NHR₉, CH₂N(R₉)₂, CH₂NHCOR₉, CH₂NR₉COR₉, CH₂NHCONHR₉, CH₂NR₉CONHR₉, CH₂NR₉CON(R₉)₂, CH₂NHCO₂R₉, CH₂NR₉CO₂R₉, CH₂NHSO₂R₉, CH₂N(SO₂R₉)₂, CH₂NR₉SO₂R₉; R₉ = C₁-6-alkyl, C₃-6-cycloalkyl, C₂-6-alkenyl, C₂-6-alkynyl, Ph, CH₂Ph, heteroaryl, CF₃] and their pharmaceutically acceptable salts, have interesting pharmaceutical properties, e.g., as CCR5 inhibitors. Piperidine derivs. I [R₁₀ = C₁-6-alkyl, C₁-6-hydroxyalkyl, C₂-6-alkoxyalkyl, C₁-6-haloalkyl, C₃-6-cycloalkyl, C₂-6-alkenyl, C₂-6-cycloalkenyl, C₂-6-alkynyl, Ph, heteroaryl, heteroaryl N-oxide, F, Cl, Br, I, OH, OR₉, CONH₂, CONHR₉, CON(R₉)₂, OC(:O)R₉, OC₂R₉, OC(:O)NHR₉, OC(:O)NHR₉, OC(:O)N(R₉)₂, OSO₂R₉, CO₂H, CO₂R₉, CF₃, CHF₂, CH₂F, CN, NO₂, NH₂, NHR₉, N(R₉)₂, NHCOR₉, NR₉COR₉, NHCONHR₉, NHCONH₂, NR₉CONHR₉, NR₉CON(R₉)₂, NHCO₂R₉, NR₉CO₂R₉, NHSO₂R₉, N(SO₂R₉)₂, NR₉SO₂R₉, SiMe₃, B(OCMe₃); R₁₁ = two adjacent substituents which form an annulated 4 - 7 membered ring containing up to two heteroatoms of the group N, O, S; Y = bond, CO, COCH₂, SO, SO₂, CS, CH₂, C(CH₂CH₂), CHR₅, C(R₄)₂] have

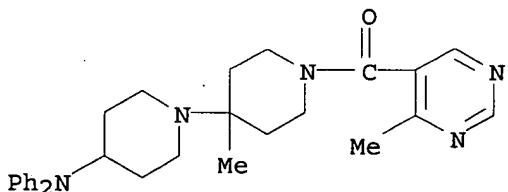
interesting pharmaceutical properties, e.g., their use as chemokine receptors inhibitors. A process for the preparation of I comprises; (a) amidating I (YR2 = H) with R2Y'A' [Y' = CO, COCH2, SO, SO2]; A' = leaving group, e.g., Cl, Br, OH; (b) reductive amidation of I (YR2 = H); or (c) reacting I (XR1 = H) with R1X"-halogen (X" = CH2, CHR9). Thus, bipiperidinylbenzamide II (Y = CO, R2 = C6H3Me2-2,6) was prepared from bipiperidinamine II (Y = bond, R2 = H) and 2,6-Me2C6H3COCl in DMF containing EtN(CHMe2)2 and 2-(1H-benzotriazol-1-yl)-1,1,3,3-tetramethyluronium tetrafluoroborate. Bipiperidinamines I were tested as chemokine receptor inhibitors [IC50 = 2 - 3 nM vs. [I-125]MIP-1 α binding to human CCR5 membrane for I (R1 = R3 = Ph, R2 = C6H4Me2-2,6, R4 - R7 = H, R8 = Me, X = CH2, Y = C:O); IC50 = 10 μ M vs. Ca2+ mobilization for II; chemotaxis by I in presence of MIP-1 α , IC50 = < 1 μ M].

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

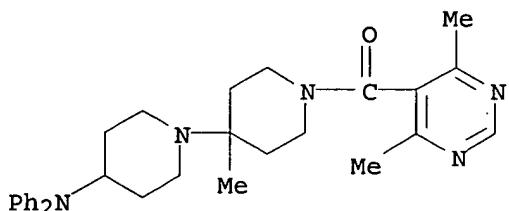
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SCT ----- Structure Connection Table and map table if it contains
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NOS ----- NO Structure data.
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=> d hitstr 19 7

L9 ANSWER 7 OF 7 CAPPLUS COPYRIGHT 2005 ACS on STN
IT 470689-17-9P 470689-20-4P 470689-21-5P
    470689-23-7P 470689-27-1P 470689-32-8P
    470689-43-1P 470689-57-7P 470689-60-2P
    470689-61-3P 470689-72-6P 470689-77-1P
    470689-78-2P 470689-79-3P 470689-81-7P
    470689-85-1P 470689-86-2P 470689-90-8P
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
    (preparation of bipiperidinyl-derivs. and their use as chemokine receptors
     inhibitors)
RN 470689-17-9 CAPPLUS
CN [1,4'-Bipiperidin]-4-amine, 4'-methyl-1'-(4-methyl-5-
      pyrimidinyl)carbonyl]-N,N-diphenyl- (9CI) (CA INDEX NAME)
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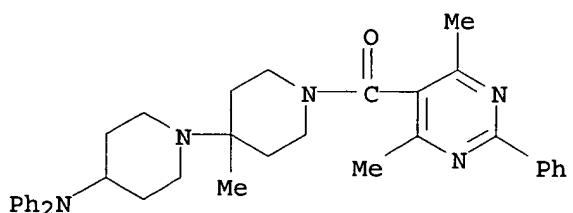


RN 470689-20-4 CAPPLUS
CN [1,4'-Bipiperidin]-4-amine, 1'-(4,6-dimethyl-5-pyrimidinyl)carbonyl]-4'-
 methyl-N,N-diphenyl- (9CI) (CA INDEX NAME)



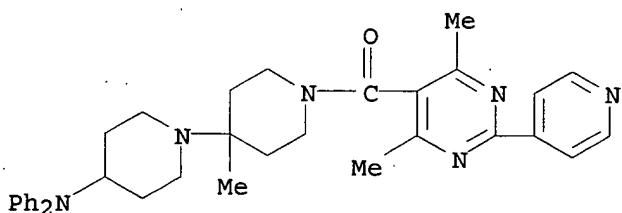
RN 470689-21-5 CAPLUS

CN [1,4'-Bipiperidin]-4-amine, 1' - [(4,6-dimethyl-2-phenyl-5-pyrimidinyl)carbonyl] -4' -methyl-N,N-diphenyl- (9CI) (CA INDEX NAME)



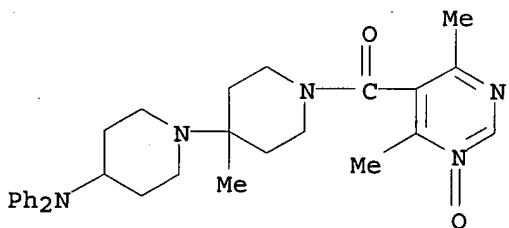
RN 470689-23-7 CAPLUS

CN [1,4'-Bipiperidin]-4-amine, 1' - [[4,6-dimethyl-2-(4-pyridinyl)-5-pyrimidinyl]carbonyl] -4' -methyl-N,N-diphenyl- (9CI) (CA INDEX NAME)



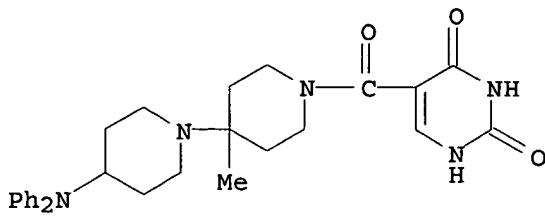
RN 470689-27-1 CAPLUS

CN [1,4'-Bipiperidin]-4-amine, 1' - [(4,6-dimethyl-1-oxido-5-pyrimidinyl)carbonyl] -4' -methyl-N,N-diphenyl- (9CI) (CA INDEX NAME)



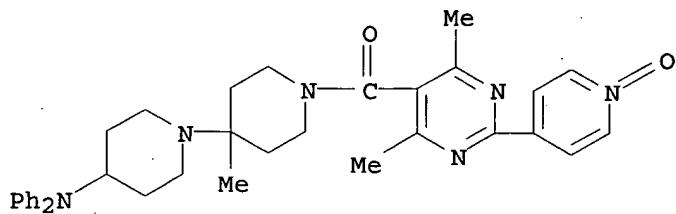
RN 470689-32-8 CAPLUS

CN [1,4'-Bipiperidin]-4-amine, 4' -methyl-N,N-diphenyl-1' - [(1,2,3,4-tetrahydro-2,4-dioxo-5-pyrimidinyl)carbonyl] - (9CI) (CA INDEX NAME)



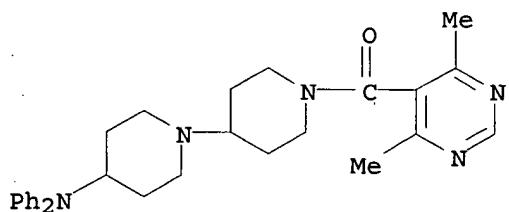
RN 470689-43-1 CAPLUS

CN [1,4'-Bipiperidin]-4-amine, 1'-[[4,6-dimethyl-2-(1-oxido-4-pyridinyl)-5-pyrimidinyl]carbonyl]-4'-methyl-N,N-diphenyl- (9CI) (CA INDEX NAME)



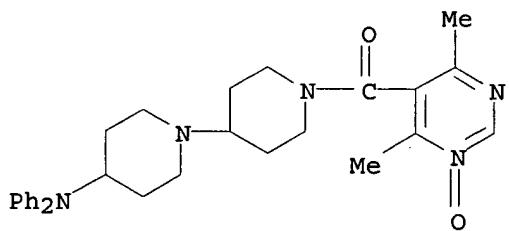
RN 470689-57-7 CAPLUS

CN [1,4'-Bipiperidin]-4-amine, 1'-[(4,6-dimethyl-5-pyrimidinyl)carbonyl]-N,N-diphenyl- (9CI) (CA INDEX NAME)



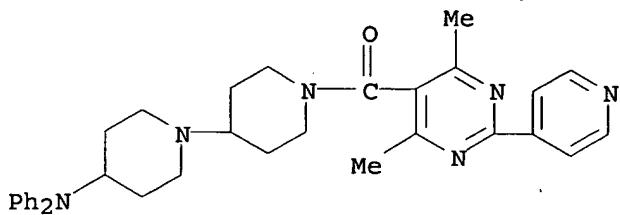
RN 470689-60-2 CAPLUS

CN [1,4'-Bipiperidin]-4-amine, 1'-[(4,6-dimethyl-1-oxido-5-pyrimidinyl)carbonyl]-N,N-diphenyl- (9CI) (CA INDEX NAME)



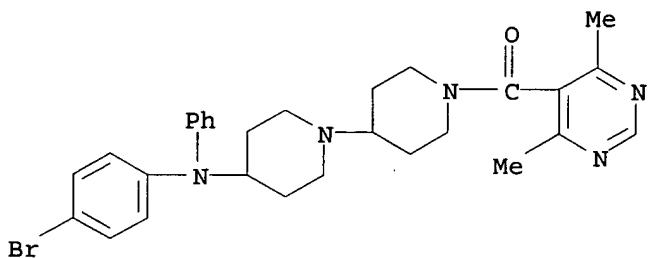
RN 470689-61-3 CAPLUS

CN [1,4'-Bipiperidin]-4-amine, 1'-[[4,6-dimethyl-2-(4-pyridinyl)-5-pyrimidinyl]carbonyl]-N,N-diphenyl- (9CI) (CA INDEX NAME)



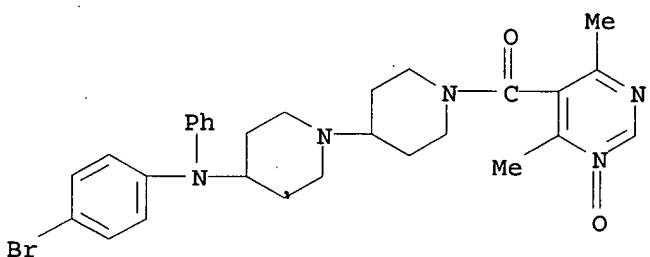
RN 470689-72-6 CAPLUS

CN [1,4'-Bipiperidin]-4-amine, N-(4-bromophenyl)-1'-(4,6-dimethyl-5-pyrimidinyl)carbonyl]-N-phenyl- (9CI) (CA INDEX NAME)



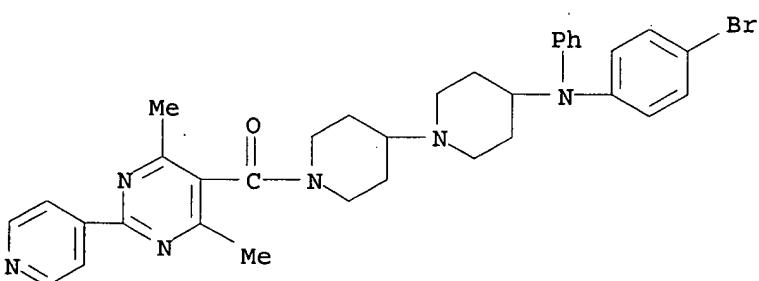
RN 470689-77-1 CAPLUS

CN [1,4'-Bipiperidin]-4-amine, N-(4-bromophenyl)-1'-(4,6-dimethyl-1-oxido-5-pyrimidinyl)carbonyl]-N-phenyl- (9CI) (CA INDEX NAME)



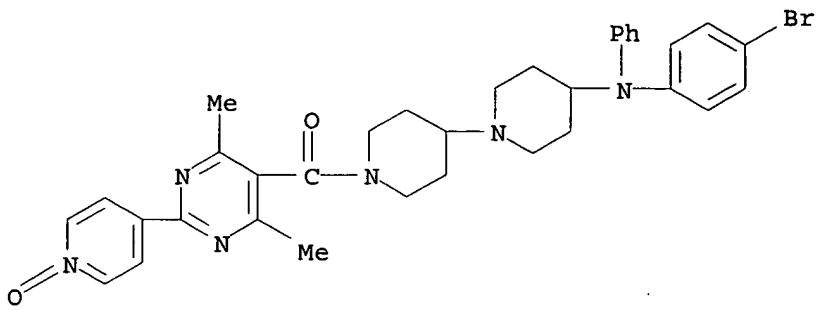
RN 470689-78-2 CAPLUS

CN [1,4'-Bipiperidin]-4-amine, N-(4-bromophenyl)-1'-(4,6-dimethyl-2-(4-pyridinyl)-5-pyrimidinyl)carbonyl]-N-phenyl- (9CI) (CA INDEX NAME)



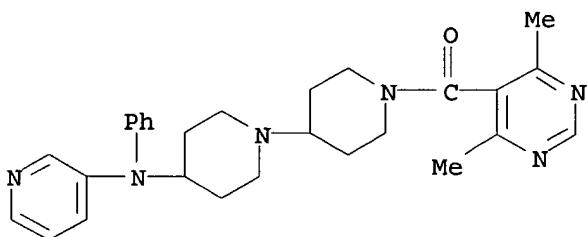
RN 470689-79-3 CAPLUS

CN [1,4'-Bipiperidin]-4-amine, N-(4-bromophenyl)-1'-(4,6-dimethyl-2-(1-oxido-4-pyridinyl)-5-pyrimidinyl)carbonyl]-N-phenyl- (9CI) (CA INDEX NAME)



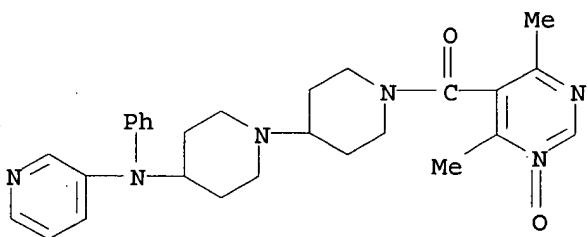
RN 470689-81-7 CAPLUS

CN [1,4'-Bipiperidin]-4-amine, 1'-[(4,6-dimethyl-5-pyrimidinyl)carbonyl] -N-phenyl-N-3-pyridinyl- (9CI) (CA INDEX NAME)



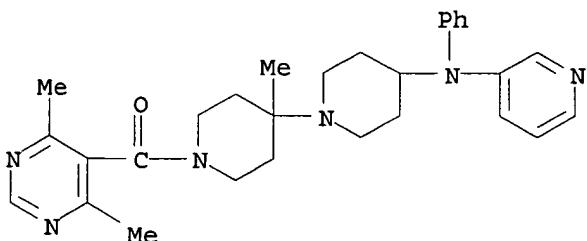
RN 470689-85-1 CAPLUS

CN [1,4'-Bipiperidin]-4-amine, 1'-[(4,6-dimethyl-1-oxido-5-pyrimidinyl)carbonyl] -N-phenyl-N-3-pyridinyl- (9CI) (CA INDEX NAME)



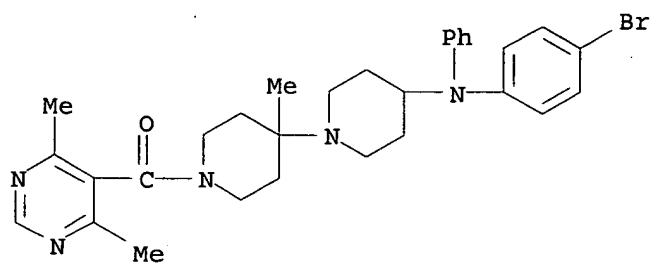
RN 470689-86-2 CAPLUS

CN [1,4'-Bipiperidin]-4-amine, 1'-[(4,6-dimethyl-5-pyrimidinyl)carbonyl]-4'-methyl-N-phenyl-N-3-pyridinyl- (9CI) (CA INDEX NAME)



RN 470689-90-8 CAPLUS

CN [1,4'-Bipiperidin]-4-amine, N-(4-bromophenyl)-1'-[(4,6-dimethyl-5-pyrimidinyl)carbonyl]-4'-methyl-N-phenyl- (9CI) (CA INDEX NAME)



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L8      204 L3 AND PYRIMID?
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FILE LAST UPDATED: 17 Oct 2005 (20051017/ED)

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L9 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2005:409505 CAPLUS
DN 142:463612
TI Preparation of bipiperidinyl derivatives as inhibitors of CCR5 receptors
IN Miller, Michael W.; Scott, Jack D.
PA Schering Corporation, USA
SO PCT Int. Appl., 84 pp.
CODEN: PIXXD2

DT Patent
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005042517	A2	20050512	WO 2004-US36273	20041101
	WO 2005042517	A3	20050728		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRAI US 2003-516954P P 20031103

OS MARPAT 142:463612

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [M = (un)substituted-aryl, -heteroaryl, -N(alkyl)pyridone with provisions; R1, R2 and Z independently = H, alkyl, haloalkyl; R3 = H, aryl, haloalkyl, etc.; R4 = (un)substituted-aryl, -fluorenyl, -diphenylmethyl, etc.; A = H, alkyl, alkenyl] and pharmaceutically acceptable salts, are prepared and disclosed as inhibitors of CCR5 receptors. Thus, e.g., II was prepared by coupling of III (preparation given) with N-Boc-sarcosine and subsequent treatment of the tert-Bu carbamate intermediate with 4N HCl. The activity of I was evaluated using chemotaxis and luciferase replication assays and it was revealed that selected compds. of the invention displayed IC₅₀ values in the range of <0.1 up to 0.19 nM. I as inhibitors of CCR5 receptors should prove useful in the treatment of human immunodeficiency virus. Pharmaceutical compns. comprising I are disclosed.

L9 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:981365 CAPLUS
DN 141:379943
TI Preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors
IN Guzi, Timothy J.; Paruch, Kamil; Dwyer, Michael P.; Doll, Ronald J.; Girijavallabhan, Viyyoor M.; Mallams, Alan; Alvarez, Carmen S.; Keertikar, Kartik M.; Rivera, Jocelyn; Chan, Tin-Yau; Madison, Vincent; Fischmann, Thierry O.; Dillard, Lawrence W.; Tran, Vinh D.; He, Zhen Min; James, Ray Anthony; Park, Haengsoon; Paradkar, Vidyadhar M.; Hobbs, Douglas Walsh
PA Schering Corporation, USA; Pharmacopeia, Inc.
SO U.S. Pat. Appl. Publ., 1044 pp., Cont.-in-part of U.S. Ser. No. 654,546.
CODEN: USXXCO

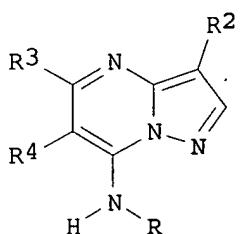
DT Patent
LA English

FAN.CNT 6

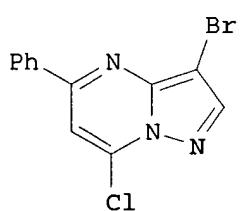
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	US 2004209878	A1	20041021	US 2004-776988	20040211
	US 2004209878	A1	20041021	US 2004-776988	20040211
PRAI	US 2002-408027P	P	20020904		
	US 2002-421959P	P	20021029		
	US 2003-654546	A2	20030903		
	US 2004-776988	A	20040211		

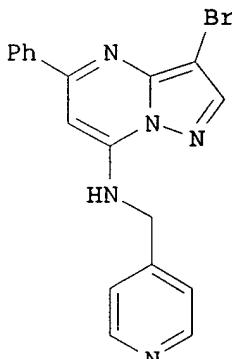
GI



I



II



III

AB The title compds. [I R = H, alkyl, cycloalkyl, etc.; R2 = alkyl, halo, aryl, etc.; R3 = H, halo, aryl, etc.; R4 = H, halo, alkyl], useful as inhibitors of cyclin dependent kinases for treatment, prevention, inhibition, or amelioration of one or more diseases associated with the CDKs such as cancer, were prepared. Thus, reacting II (preparation given) with 4-aminomethylpyridine afforded 93% III which showed IC50 of 0.020 μ M and 0.029 μ M against CDK2 kinase (cyclin A or cyclin E-dependent). The pharmaceutical composition comprising the compound I is claimed. This is a

Part III of I-III series.

L9 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:308430 CAPLUS

DN 140:321241

TI Preparation of heteroarylaminopiperidinylpiperidines as CCR5 chemokine receptor antagonists.

IN Albert, Rainer; Cooke, Nigel Graham; Thoma, Gebhard

PA Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.

SO PCT Int. Appl., 32 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

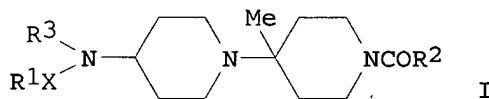
PATENT NO.

KIND DATE

APPLICATION NO.

DATE

PI WO 2004031172 A1 20040415 WO 2003-EP11035 20031006
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 GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT,
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 CA 2501243 AA 20040415 CA 2003-2501243 20031006
 EP 1551827 A1 20050713 EP 2003-798931 20031006
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
 BR 2003015092 A 20050816 BR 2003-15092 20031006
 PRAI GB 2002-23223 A 20021007
 WO 2003-EP11035 W 20031006
 OS MARPAT 140:321241
 GI



AB Title compds. [I; (1) R2 = 2,4-dimethylpyridin-3-yl-N-oxide, (a) R1 = thienyl, furyl, thiazolyl, 2-methylthiazolyl, R3 = benzo[1,3]dioxolyl, (halo)phenyl; or (b) R1 = Ph substituted by SO2Me, cyano, X = CH2, R3 = Ph; or (c) R1 = Ph, X = bond, R3 = pyridyl; or (2) R2 = 2,6-dimethylphenyl, (a) R1 = pyridyl, Ph optionally substituted by CO2H, alkoxy carbonyl, 2-methylthiazolyl, indolyl, benzimidazol-2-yl; X1 = CH2, CH2CH2; R3 = (halo)phenyl; (b) R1 = Ph, X = bond, R3 = pyridyl, or R1 = 2-methylthiazolyl, X = CH2, R3 = 1-methylindolyl; (3) R2 = 2,4-dimethylpyridin-3-yl, (a) R1 = 2-methylthiazolyl, X = bond, R3 = Ph; etc.], were prepared I (R1 = 2-pyridyl; R2 = 2,4-dimethylpyridin-3-yl-N-oxide; R3 = Ph; X = null) inhibited CCR5 in a Ca2+ mobilization assay with IC50 = 29 nM.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 2004:265849 CAPLUS
 DN 140:321371
 TI Preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors
 IN Guzi, Timothy J.; Paruch, Kamil; Dwyer, Michael P.; Doll, Ronald J.; Girijavallabhan, Viyyoor Moopil; Mallams, Alan; Alvarez, Carmen S.; Keertikar, Kartik M.; Rivera, Jocelyn; Chan, Tin-yau; Madison, Vincent; Fischmann, Thierry O.; Dillard, Lawrence W.; Tran, Vinh D.; He, Zhen Min; James, Ray Anthony; Park, Haengsoon; Paradkar, Vidyadhar M.; Hobbs, Douglas Walsh
 PA Schering Corporation, USA
 SO PCT Int. Appl., 609 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 6

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004022561	A1	20040318	WO 2003-XB327555	20030903
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,				

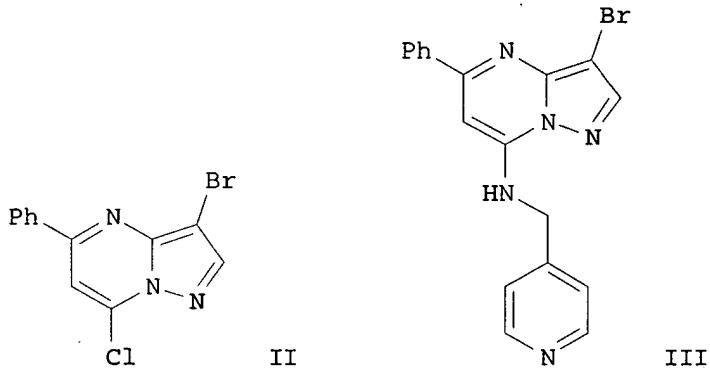
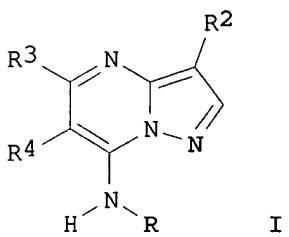
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 MG, MK, MN, MX, NI, NO, NZ, PG, PH, PL, PT, RO, RU, SC, SE, SG,
 SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UZ, VC, VN, YU, ZA, ZM,
 AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC,
NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ,
GW, ML, MR, NE, SN, TD, TG

PRAI US 2002-408027P P 20020904
US 2002-421959P P 20021029

GI

G1



AB The title compds. [I R = H, alkyl, cycloalkyl, etc.; R2 = alkyl, halo, aryl, etc.; R3 = H, halo, aryl, etc.; R4 = H, halo, alkyl], useful as inhibitors of cyclin dependent kinases for treatment, prevention, inhibition, or amelioration of one or more diseases associated with the CDKs such as cancer, were prepared. Thus, reacting II (preparation given) with 4-aminomethylpyridine afforded 93% III which showed IC₅₀ of 0.020 μ M and 0.029 μ M against CDK2 kinase (cyclin A or cyclin E-dependent). The pharmaceutical composition comprising the compound I is claimed. This is a

Part III of I-III series.

L9 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:196486 CAPLUS

DN 140:368098

Drally Bioavailable Competitive CCR5 Antagonists

AU Thoma, Gebhard; Nuninger, Francois; Schaefer, Marc; Akyel, Kayhan G.; Albert, Rainer; Beerli, Christian; Bruns, Christian; Francotte, Eric; Luyten, Marcel; MacKenzie, Duncan; Oberer, Lukas; Streiff, Markus B.; Wagner, Trixie; Walter, Hansrudolf; Weckbecker, Gisbert; Zerwes, Hans-Guenter

CS Novartis Institutes for BioMedical Research, Basel, CH-4056, Switz.
 SO Journal of Medicinal Chemistry (2004), 47(8), 1939-1955
 CODEN: JMCMAR; ISSN: 0022-2623
 PB American Chemical Society
 DT Journal
 LA English
 AB The chemokine receptor CCR5 plays an important role in inflammatory and autoimmune disorders as well as in transplant rejection by affecting the trafficking of effector T cells and monocytes to diseased tissues. Antagonists of CCR5 are believed to be of potential therapeutic value for the disorders mentioned above and HIV infection. Here we report on the structure-activity relationship of a new series of highly potent and selective competitive CCR5 antagonists. While all compds. tested were inactive on rodent CCR5, this series includes compds. that cross-react with the cynomolgus monkey (cyno) receptor. One of these compds., i.e., 26n, has good PK properties in cynos, and its overall favorable profile makes it a promising candidate for in vivo profiling in transplantation and other disease models.

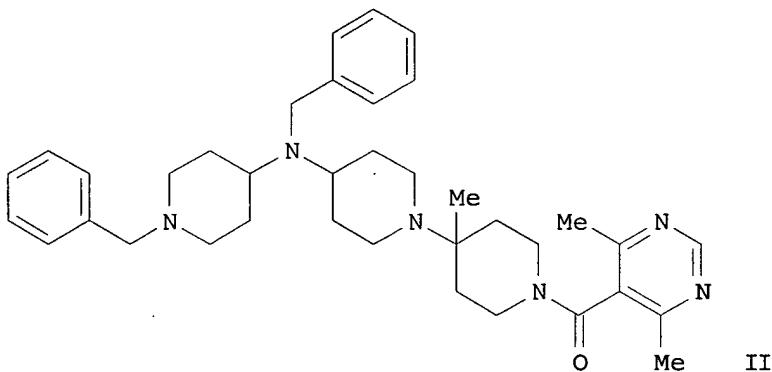
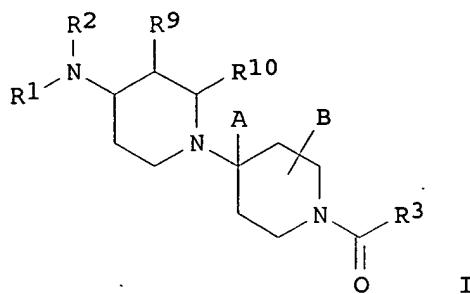
RE.CNT 54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
 AN 2003:202634 CAPLUS
 DN 138:238191
 TI Preparation of 1-[1-(pyrimidin-5-ylcarbonyl)piperidin-4-yl]piperidin-4-amines as CCR5 antagonists
 IN Palani, Anandan; Miller, Michael W.; Scott, Jack D.
 PA Schering Corporation, USA
 SO PCT Int. Appl., 105 pp.
 CODEN: PIXXD2

DT Patent
 LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003020716	A1	20030313	WO 2002-US27389	20020828
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA	2457861	AA	20030313	CA 2002-2457861	20020828
US	2004010008	A1	20040115	US 2002-229466	20020828
EP	1421075	A1	20040526	EP 2002-766142	20020828
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR	2002012108	A	20040824	BR 2002-12108	20020828
CN	1551877	A	20041201	CN 2002-816679	20020828
JP	2005502682	T2	20050127	JP 2003-524986	20020828
US	2004092745	A1	20040513	US 2003-628933	20030729
US	2004092551	A1	20040513	US 2003-629466	20030729
ZA	2004001594	A	20041124	ZA 2004-1594	20040225
NO	2004001266	A	20040326	NO 2004-1266	20040326
PRAI	US 2001-315683P	P	20010829		
	US 2002-229466	A3	20020828		
	WO 2002-US27389	W	20020828		
OS	MARPAT 138:238191				
GI					



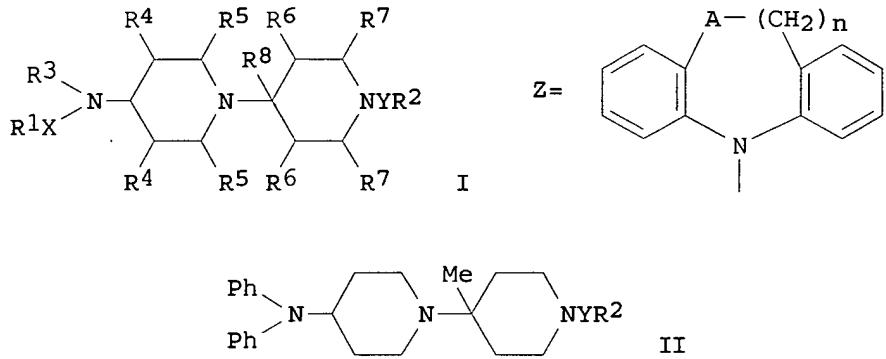
AB The title compds. [I; R1 = piperidinyl, Ph, etc.; R2 = CH₂Ph, 4-pyridylmethyl, etc.; R3 = 4,6-dimethylpyrimidine-5-yl, Ph, etc.; R9, R10, B = H, alkyl, haloalkyl; A = H, alkyl, alkenyl] and their pharmaceutically acceptable salts, useful, alone or in combination with another agent, in the treatment of Human Immunodeficiency Virus (HIV), solid organ transplant rejection, graft v. host disease, arthritis, rheumatoid arthritis, inflammatory bowel disease, atopic dermatitis, psoriasis, asthma, allergies or multiple sclerosis, were prepared E.g., a 6-step synthesis of II, starting from 4-hydroxypiperidine and N-Boc-4-piperidone, which showed IC₅₀ of 1.7 nM in luciferase HIV replication assay, was given.

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2002:793604 CAPLUS
DN 137:310816
TI Preparation of bipiperidinyl-derivatives and their use as chemokine receptors inhibitors
IN Albert, Rainer; Bruns, Christian; Nuninger, Francois; Streiff, Markus; Thoma, Gebhard; Zerwes, Hans-Guenter
PA Novartis A.-G., Switz.; Novartis-Erfindungen Verwaltungsgesellschaft m.b.H.
SO PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002081449	A1	20021017	WO 2002-EP3871	20020408
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HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU,
 LV, MA, MD, MK, MN, MX, NO, NZ, OM, PH, PL, PT, RO, RU, SE, SG,
 SI, SK, TJ, TM, TN, TR, TT, UA, US, UZ, VN, YU, ZA, ZW, AM, AZ,
 BY, KG, KZ, MD, RU, TJ, TM
 RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
 PT, SE, TR
 CA 2439241 AA 20021017 CA 2002-2439241 20020408
 EP 1379504 A1 20040114 EP 2002-730122 20020408
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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 CN 1501915 A 20040602 CN 2002-807950 20020408
 BR 2002008741 A 20040622 BR 2002-8741 20020408
 JP 2004525174 T2 20040819 JP 2002-579437 20020408
 NZ 528712 A 20050729 NZ 2002-528712 20020408
 ZA 2003006432 A 20040604 ZA 2003-6432 20030819
 US 2004142920 A1 20040722 US 2003-472653 20030922
 NO 2003004324 A 20030926 NO 2003-4324 20030926
 PRAI GB 2001-8876 A 20010409
 WO 2002-EP3871 W 20020408
 OS MARPAT 137:310816
 GI



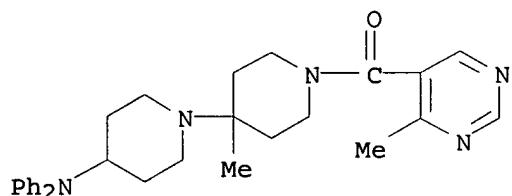
AB Piperidine derivs. I [X = bond, CH₂, CH₂CH₂, CHR₉, CO, O, NH, NR₉; R₁ = R₁₀- and/or R₁₁-substituted Ph, heteroaryl, heteroaryl N-oxide, naphthyl; R₂ = R₁, R₁₀- and/or R₁₁-substituted fluorenyl or R₁₀-substituted C₁-6-alkyl, C₂-6-alkenyl, C₃-6-cycloalkyl, adamantlyl, C₄-8-cycloalkenyl; R₃ = R₂; R₁XNR₃ = optionally R₁₀-substituted Z; A = CH₂, NH, NR₉, S, SO, SO₂, O; n = 0 - 2; R₄, R₆ = R₅, CN, OH, OR₉, F, Cl, Br, I; R₅, R₇ = H, C₁-6-alkyl, C₁-6-hydroxyalkyl, C₂-6-alkoxyalkyl, C₁-6-haloalkyl, Ph, CH₂Ph, heteroaryl; R₈ = H, C₁-6-alkyl, C₂-6-alkenyl, C₂-6-alkynyl, Ph, CH₂Ph, CN, CH₂NH₂, CH₂NHR₉, CH₂N(R₉)₂, CH₂NHCOR₉, CH₂NR₉COR₉, CH₂NHCONHR₉, CH₂NR₉CONHR₉, CH₂NR₉CON(R₉)₂, CH₂NHCO₂R₉, CH₂NR₉CO₂R₉, CH₂NHSO₂R₉, CH₂N(SO₂R₉)₂, CH₂NR₉SO₂R₉; R₉ = C₁-6-alkyl, C₃-6-cycloalkyl, C₂-6-alkenyl, C₂-6-alkynyl, Ph, CH₂Ph, heteroaryl, CF₃] and their pharmaceutically acceptable salts, have interesting pharmaceutical properties, e.g., as CCR5 inhibitors. Piperidine derivs. I [R₁₀ = C₁-6-alkyl, C₁-6-hydroxyalkyl, C₂-6-alkoxyalkyl, C₁-6-haloalkyl, C₃-6-cycloalkyl, C₂-6-alkenyl, C₂-6-cycloalkenyl, C₂-6-alkynyl, Ph, heteroaryl, heteroaryl N-oxide, F, Cl, Br, I, OH, OR₉, CONH₂, CONHR₉, CON(R₉)₂, OC(:O)R₉, OCO₂R₉, OC(:O)NHR₉, OC(:O)NHR₉, OC(:O)N(R₉)₂, OSO₂R₉, CO₂H, CO₂R₉, CF₃, CHF₂, CH₂F, CN, NO₂, NH₂, NHR₉, N(R₉)₂, NHCOR₉, NR₉COR₉, NHCONHR₉, NHCONH₂, NR₉CONHR₉, NR₉CON(R₉)₂, NHCO₂R₉, NR₉CO₂R₉, NHSO₂R₉, N(SO₂R₉)₂, NR₉SO₂R₉, SiMe₃, B(OCMe₃); R₁₁ = two adjacent substituents which form an annulated 4 - 7 membered ring containing up to two heteroatoms of the group N, O, S; Y = bond, CO, COCH₂, SO, SO₂, CS, CH₂, C(CH₂CH₂), C(R₄)₂] have

interesting pharmaceutical properties, e.g., their use as chemokine receptors inhibitors. A process for the preparation of I comprises; (a) amidating I ($YR_2 = H$) with $R_2Y'A'$ [$Y' = CO, COCH_2, SO, SO_2$]; A' = leaving group, e.g., Cl, Br, OH; (b) reductive amidation of I ($YR_2 = H$); or (c) reacting I ($XR_1 = H$) with R_1X'' -halogen ($X'' = CH_2, CHR_9$). Thus, bipiperidinylbenzamide II ($Y = CO$, $R_2 = C_6H_3Me_2-2,6$) was prepared from bipiperidinamine II ($Y = bond$, $R_2 = H$) and $2,6-Me_2C_6H_3COCl$ in DMF containing $EtN(CHMe_2)_2$ and $2-(1H-benzotriazol-1-yl)-1,1,3,3-tetramethyluronium tetrafluoroborate$. Bipiperidinamines I were tested as chemokine receptor inhibitors [$IC_{50} = 2 - 3$ nM vs. [$I-125]MIP-1\alpha$ binding to human CCR5 membrane for I ($R_1 = R_3 = Ph$, $R_2 = C_6H_4Me_2-2,6$, $R_4 - R_7 = H$, $R_8 = Me$, $X = CH_2$, $Y = C:O$); $IC_{50} = 10 \mu M$ vs. Ca^{2+} mobilization for II; chemotaxis by I in presence of $MIP-1\alpha$, $IC_{50} = \leq 1 \mu M$].

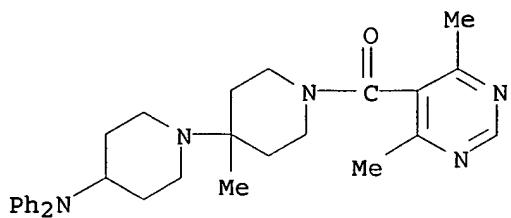
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ALL CITATIONS AVAILABLE IN THE RE FORMAT

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          data. (Default)
SIM ----- Structure IMage.
SAT ----- Structure ATtributes and map table if it contains data.
SCT ----- Structure Connection Table and map table if it contains
          data.
SDA ----- All Structure DAta (image, attributes, connection table and
          map table if it contains data).
NOS ----- NO Structure data.
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L9 ANSWER 7 OF 7 CAPPLUS COPYRIGHT 2005 ACS on STN
IT 470689-17-9P 470689-20-4P 470689-21-5P
   470689-23-7P 470689-27-1P 470689-32-8P
   470689-43-1P 470689-57-7P 470689-60-2P
   470689-61-3P 470689-72-6P 470689-77-1P
   470689-78-2P 470689-79-3P 470689-81-7P
   470689-85-1P 470689-86-2P 470689-90-8P
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
     (preparation of bipiperidinyl-derivs. and their use as chemokine receptors
      inhibitors)
RN 470689-17-9 CAPPLUS
CN [1,4'-Bipiperidin]-4-amine, 4'-methyl-1'-(4-methyl-5-
      pyrimidinyl)carbonyl]-N,N-diphenyl- (9CI) (CA INDEX NAME)
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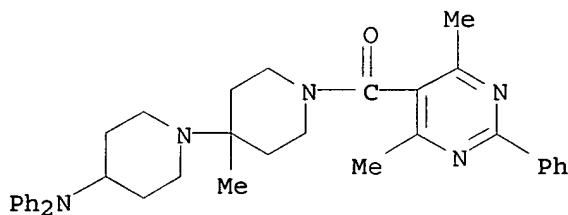


RN 470689-20-4 CAPPLUS
CN [1,4'-Bipiperidin]-4-amine, 1'-(4,6-dimethyl-5-pyrimidinyl)carbonyl]-4'-
 methyl-N,N-diphenyl- (9CI) (CA INDEX NAME)



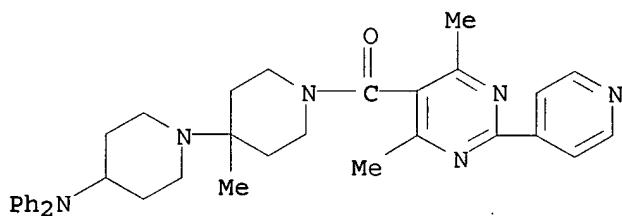
RN 470689-21-5 CAPLUS

CN [1,4'-Bipiperidin]-4-amine, 1'-[(4,6-dimethyl-2-phenyl-5-pyrimidinyl)carbonyl]-4'-methyl-N,N-diphenyl- (9CI) (CA INDEX NAME)



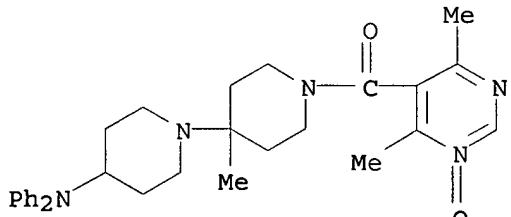
RN 470689-23-7 CAPLUS

CN [1,4'-Bipiperidin]-4-amine, 1'-[[4,6-dimethyl-2-(4-pyridinyl)-5-pyrimidinyl]carbonyl]-4'-methyl-N,N-diphenyl- (9CI) (CA INDEX NAME)



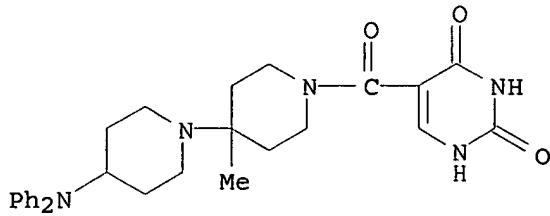
RN 470689-27-1 CAPLUS

CN [1,4'-Bipiperidin]-4-amine, 1'-[(4,6-dimethyl-1-oxido-5-pyrimidinyl)carbonyl]-4'-methyl-N,N-diphenyl- (9CI) (CA INDEX NAME)



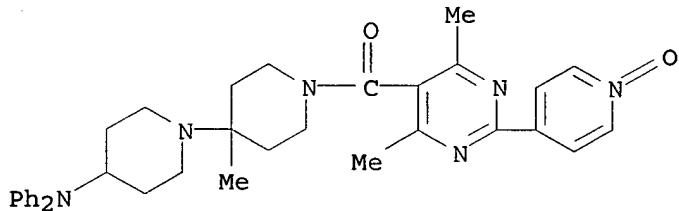
RN 470689-32-8 CAPLUS

CN [1,4'-Bipiperidin]-4-amine, 4'-methyl-N,N-diphenyl-1'-(1,2,3,4-tetrahydro-2,4-dioxo-5-pyrimidinyl)carbonyl]- (9CI) (CA INDEX NAME)



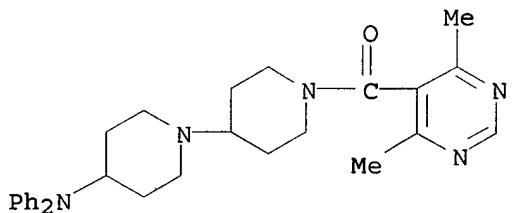
RN 470689-43-1 CAPLUS

CN [1,4'-Bipiperidin]-4-amine, 1'-[[4,6-dimethyl-2-(1-oxido-4-pyridinyl)-5-pyrimidinyl]carbonyl]-4'-methyl-N,N-diphenyl- (9CI) (CA INDEX NAME)



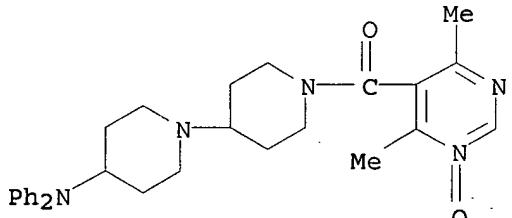
RN 470689-57-7 CAPLUS

CN [1,4'-Bipiperidin]-4-amine, 1'-[(4,6-dimethyl-5-pyrimidinyl)carbonyl]-N,N-diphenyl- (9CI) (CA INDEX NAME)



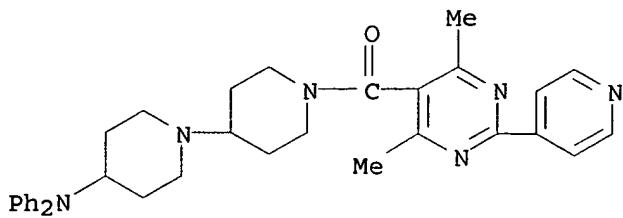
RN 470689-60-2 CAPLUS

CN [1,4'-Bipiperidin]-4-amine, 1'-[(4,6-dimethyl-1-oxido-5-pyrimidinyl)carbonyl]-N,N-diphenyl- (9CI) (CA INDEX NAME)



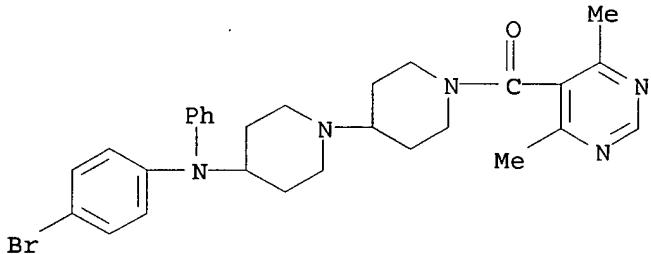
RN 470689-61-3 CAPLUS

CN [1,4'-Bipiperidin]-4-amine, 1'-[[4,6-dimethyl-2-(4-pyridinyl)-5-pyrimidinyl]carbonyl]-N,N-diphenyl- (9CI) (CA INDEX NAME)



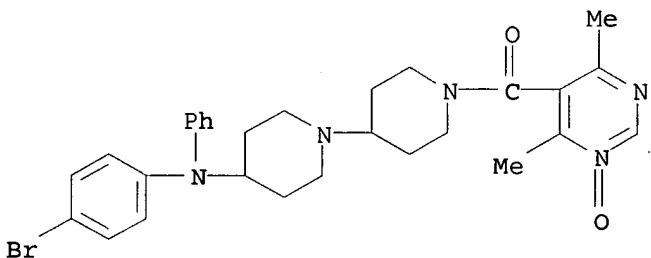
RN 470689-72-6 CAPLUS

CN [1,4'-Bipiperidin]-4-amine, N-(4-bromophenyl)-1'-(4,6-dimethyl-5-pyrimidinyl)carbonyl-N-phenyl- (9CI) (CA INDEX NAME)



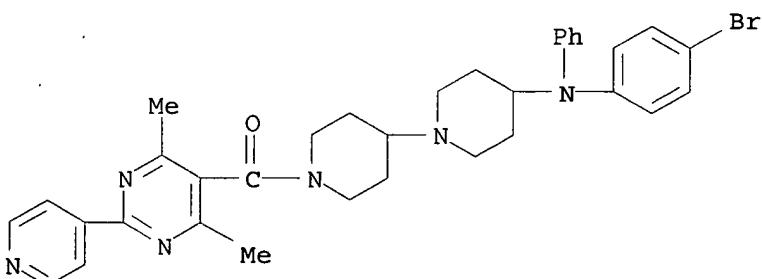
RN 470689-77-1 CAPLUS

CN [1,4'-Bipiperidin]-4-amine, N-(4-bromophenyl)-1'-(4,6-dimethyl-1-oxido-5-pyrimidinyl)carbonyl-N-phenyl- (9CI) (CA INDEX NAME)



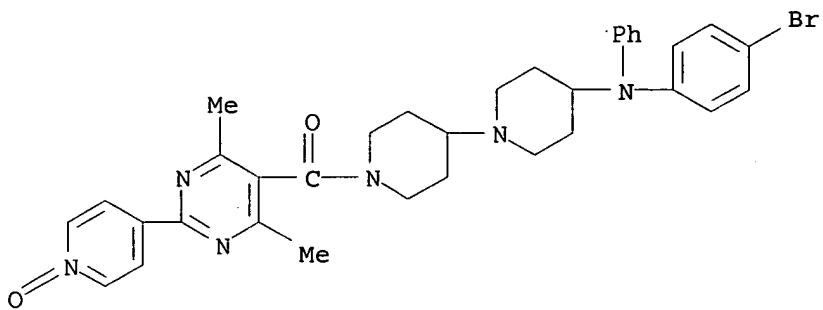
RN 470689-78-2 CAPLUS

CN [1,4'-Bipiperidin]-4-amine, N-(4-bromophenyl)-1'-(4,6-dimethyl-2-(4-pyridinyl)-5-pyrimidinyl)carbonyl-N-phenyl- (9CI) (CA INDEX NAME)



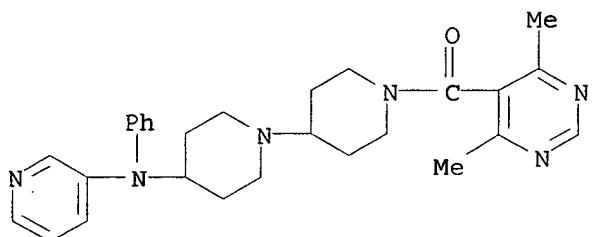
RN 470689-79-3 CAPLUS

CN [1,4'-Bipiperidin]-4-amine, N-(4-bromophenyl)-1'-(4,6-dimethyl-2-(1-oxido-4-pyridinyl)-5-pyrimidinyl)carbonyl-N-phenyl- (9CI) (CA INDEX NAME)



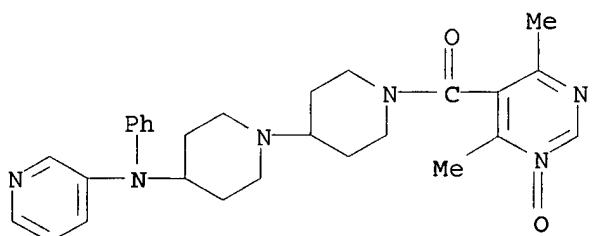
RN 470689-81-7 CAPLUS

CN [1,4'-Bipiperidin]-4-amine, 1' - [(4,6-dimethyl-5-pyrimidinyl)carbonyl] -N-phenyl-N-3-pyridinyl- (9CI) (CA INDEX NAME)



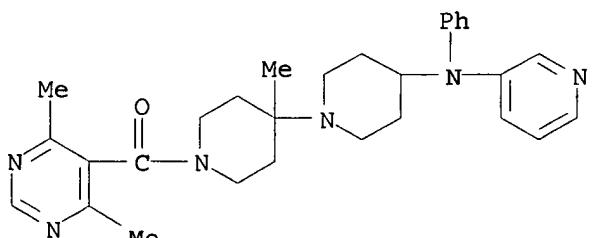
RN 470689-85-1 CAPLUS

CN [1,4'-Bipiperidin]-4-amine, 1' - [(4,6-dimethyl-1-oxido-5-pyrimidinyl)carbonyl] -N-phenyl-N-3-pyridinyl- (9CI) (CA INDEX NAME)



RN 470689-86-2 CAPLUS

CN [1,4'-Bipiperidin]-4-amine, 1' - [(4,6-dimethyl-5-pyrimidinyl)carbonyl] -4' -methyl-N-phenyl-N-3-pyridinyl- (9CI) (CA INDEX NAME)



RN 470689-90-8 CAPLUS

CN [1,4'-Bipiperidin]-4-amine, N-(4-bromophenyl)-1' - [(4,6-dimethyl-5-pyrimidinyl)carbonyl] -4' -methyl-N-phenyl- (9CI) (CA INDEX NAME)

